

# INTERNATIONAL SEARCH REPORT

Internat application No  
PCT/IB 03/01754

**A. CLASSIFICATION OF SUBJECT MATTER**  
IPC 7 C07D413/14 A61K31/422 A61P31/04

According to International Patent Classification (IPC) or to both national classification and IPC

**B. FIELDS SEARCHED**

Minimum documentation searched (classification system followed by classification symbols)  
IPC 7 C07D

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal

**C. DOCUMENTS CONSIDERED TO BE RELEVANT**

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2003 027083 A (MERCK & CO., INC., USA; KYORIN PHARMACEUTICAL CO., LTD.) 3 April 2003 (2003-04-03) claim 6; example 134 ---	1, 9-14, 21-23
X	WO 99 64417 A (ZENECA LIMITED, UK) 16 December 1999 (1999-12-16) Claims and compounds of ex. 3, 11, 13, 15, 17, 26, 28, 31, 32, 34, 59, 131, 133, 137 and 167. ---	1, 9-14, 21-23
X	WO 97 30995 A (ZENECA LTD., UK; GRAVESTOCK, MICHAEL BARRY) 28 August 1997 (1997-08-28) cited in the application  claims, compounds of examples 12-13, 25-26, 37-38 and several compounds of table A p. 79 and table B p. 81-82 ---	1, 2, 6, 9-15, 19, 21-24, 28, 30-32
		-/-

Further documents are listed in the continuation of box C.

Patent family members are listed in annex.

\* Special categories of cited documents :

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the International filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*&\* document member of the same patent family

Date of the actual completion of the International search

25 November 2003

Date of mailing of the International search report

21/01/2004

Name and mailing address of the ISA

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## INTERNATIONAL SEARCH REPORT

International Application No.  
PCT/IB U3/01754

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	WO 2000 021960 A (ZENECA LIMITED, UK) 20 April 2000 (2000-04-20) cited in the application claim 6; example 47 ----	1,9-14, 21-23
X	WO 99 10342 A (ZENECA LIMITED, UK) 4 March 1999 (1999-03-04) claims 1,2 ----	1,9-14, 21-23
X	WO 97 09328 A (UPJOHN CO., USA;HUTCHINSON, DOUGLAS, K.; ENNIS, MICHAEL D.; HOFFMAN, R) 13 March 1997 (1997-03-13) claims 1,2 ----	1,9-14, 21-23
X	WO 2003 072575 A (ASTRAZENECA AB, SWED.;ASTRAZENECA UK LIMITED) 4 September 2003 (2003-09-04) Intermediates 54 and 57 on pages 107 and 111 ----	1,21-23
X	WO 2002 096916 A (ASTRAZENECA AB, SWED.;ASTRAZENECA UK LIMITED) 5 December 2002 (2002-12-05) Intermediates of steps 4 and 5 page 10 -----	1,21-23

# INTERNATIONAL SEARCH REPORT

Inte  
nal application No.  
PCT/IB 03/01754

## Box I Observations where certain claims were found unsearchable (Continuation of item 1 of first sheet)

This International Search Report has not been established in respect of certain claims under Article 17(2)(a) for the following reasons:

1.  Claims Nos.: because they relate to subject matter not required to be searched by this Authority, namely:  

Although claims 11-20 are directed to a method of treatment of the human/animal body, the search has been carried out and based on the alleged effects of the compound/composition.
2.  Claims Nos.: 1-7(part), 9-34(part)  
because they relate to parts of the International Application that do not comply with the prescribed requirements to such an extent that no meaningful International Search can be carried out, specifically:  
see FURTHER INFORMATION sheet PCT/ISA/210
3.  Claims Nos.: because they are dependent claims and are not drafted in accordance with the second and third sentences of Rule 6.4(a).

## Box II Observations where unity of invention is lacking (Continuation of item 2 of first sheet)

This International Searching Authority found multiple Inventions in this international application, as follows:

1.  As all required additional search fees were timely paid by the applicant, this International Search Report covers all searchable claims.
2.  As all searchable claims could be searched without effort justifying an additional fee, this Authority did not invite payment of any additional fee.
3.  As only some of the required additional search fees were timely paid by the applicant, this International Search Report covers only those claims for which fees were paid, specifically claims Nos.:
4.  No required additional search fees were timely paid by the applicant. Consequently, this International Search Report is restricted to the invention first mentioned in the claims; it is covered by claims Nos.:

### Remark on Protest

- The additional search fees were accompanied by the applicant's protest.
- No protest accompanied the payment of additional search fees.

**FURTHER INFORMATION CONTINUED FROM PCT/ISA/ 210**

Continuation of Box I.2

Claims Nos.: 1-7(part), 9-34(part)

Claims 1-7 and 9-34, in as far as the expressions "prodrugs" and "metabolites" are explicitly or implicitly concerned, is so unclear (Article 6 PCT) that a meaningful international search is impossible with regard to these expressions.

The applicant's attention is drawn to the fact that claims, or parts of claims, relating to inventions in respect of which no international search report has been established need not be the subject of an international preliminary examination (Rule 66.1(e) PCT). The applicant is advised that the EPO policy when acting as an International Preliminary Examining Authority is normally not to carry out a preliminary examination on matter which has not been searched. This is the case irrespective of whether or not the claims are amended following receipt of the search report or during any Chapter II procedure.

**INTERNATIONAL SEARCH REPORT**

Internal Application No  
PCT/18 03/01754

Patent document cited in search report		Publication date		Patent family member(s)		Publication date
WO 2003027083	A	03-04-2003	WO US	03027083 A1 2003125367 A1		03-04-2003 03-07-2003
WO 9964417	A	16-12-1999	AU	753988 B2		31-10-2002
			AU	4157199 A		30-12-1999
			BG	105001 A		28-09-2001
			BR	9910971 A		13-02-2001
			CA	2333332 A1		16-12-1999
			CN	1311787 T		05-09-2001
			EE	200000707 A		15-04-2002
			EP	1082323 A2		14-03-2001
			WO	9964417 A2		16-12-1999
			HU	0103082 A2		28-10-2002
			JP	2002517498 T		18-06-2002
			NO	20006152 A		02-02-2001
			NZ	508174 A		31-10-2003
			PL	345162 A1		03-12-2001
			SK	18362000 A3		11-06-2001
			TR	200003595 T2		23-07-2001
			US	2003144263 A1		31-07-2003
			US	6617339 B1		09-09-2003
			ZA	200006694 A		18-02-2002
WO 9730995	A	28-08-1997	AU	1805397 A		10-09-1997
			EP	0882042 A1		09-12-1998
			WO	9730995 A1		28-08-1997
			JP	11514662 T		14-12-1999
			US	2002133022 A1		19-09-2002
			US	6271383 B1		07-08-2001
			US	6365751 B1		02-04-2002
			US	5981528 A		09-11-1999
			ZA	9701469 A		25-08-1997
WO 2000021960	A	20-04-2000	AU	754123 B2		07-11-2002
			AU	6113199 A		01-05-2000
			BR	9914379 A		07-08-2001
			CA	2342623 A1		20-04-2000
			CN	1322203 T		14-11-2001
			EP	1121358 A1		08-08-2001
			WO	0021960 A1		20-04-2000
			HU	0103929 A2		29-07-2002
			JP	2002527439 T		27-08-2002
			NO	20011738 A		07-06-2001
			NZ	510211 A		30-05-2003
			US	2003207899 A1		06-11-2003
			ZA	200102659 A		01-07-2002
WO 9910342	A	04-03-1999	EP	1005468 A1		07-06-2000
			WO	9910342 A1		04-03-1999
			JP	2001514178 T		11-09-2001
			US	6605630 B1		12-08-2003
WO 9709328	A	13-03-1997	AT	207487 T		15-11-2001
			AU	716493 B2		24-02-2000
			AU	6718196 A		27-03-1997
			BR	9610474 A		02-03-1999
			CA	2228647 A1		13-03-1997
			CN	1197457 A , B		28-10-1998

## INTERNATIONAL SEARCH REPORT

International Application No
PCT/IB 03/01754

Patent document cited in search report	Publication date	Patent family member(s)	Publication date
WO 9709328	A	CZ 9800493 A3 DE 69616366 D1 DE 69616366 T2 DK 856002 T3 EP 0856002 A1 ES 2165516 T3 FI 980452 A HK 1014946 A1 HU 9901979 A2 JP 11512386 T NO 980855 A NZ 315469 A PL 325152 A1 PT 856002 T RU 2175324 C2 SI 856002 T1 SK 19598 A3 TW 419468 B WO 9709328 A1 US 6166056 A US 6051716 A US 6043266 A US 6313307 B1 US 5968962 A US 6358942 B1 ZA 9606935 A	12-08-1998 29-11-2001 04-07-2002 11-02-2002 05-08-1998 16-03-2002 27-02-1998 01-03-2002 28-02-2000 26-10-1999 30-04-1998 28-01-2000 06-07-1998 29-04-2002 27-10-2001 30-04-2002 04-11-1998 21-01-2001 13-03-1997 26-12-2000 18-04-2000 28-03-2000 06-11-2001 19-10-1999 19-03-2002 16-02-1998
WO 2003072575	A	04-09-2003	WO 03072575 A1
WO 2002096916	A	05-12-2002	WO 02096916 A1